

a. Me_2SO_4 , KOH , $\text{Bu}_4\text{N}^+\text{HSO}_4^-$, THF, 78%; b. H_2 , Pd/C , 99%; c. MeOH , SOCl_2 , 95%; d. Boc_2O , Et_3N , CH_2Cl_2 , 85%; e. NaBH_4 , LiCl , THF/EtOH, 85%; f. $\text{SO}_3\cdot\text{Pyr}$ complex, DMSO, Et_3N , CH_2Cl_2 ; g. $\text{Na}(\text{AcO})_3\text{BH}$, AcOH , CH_2Cl_2 , 88%; h. HCl in dioxane, 90%; i. pyruvic acid BOP, NMM, CH_2Cl_2 , 70%; j. $\text{LiOH}\cdot\text{H}_2\text{O}$, THF/ H_2O

Fig. 3

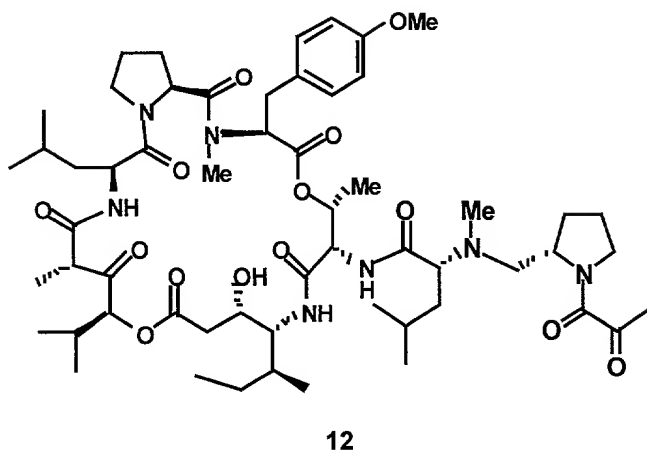
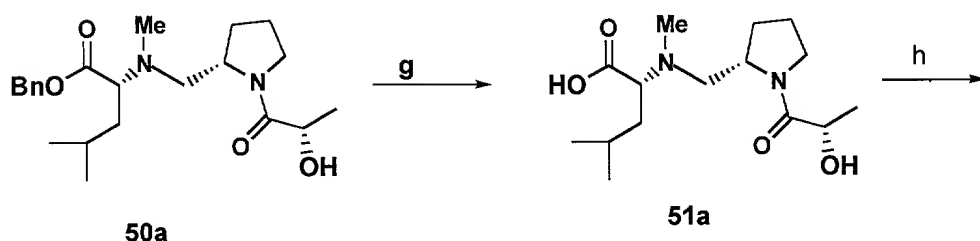
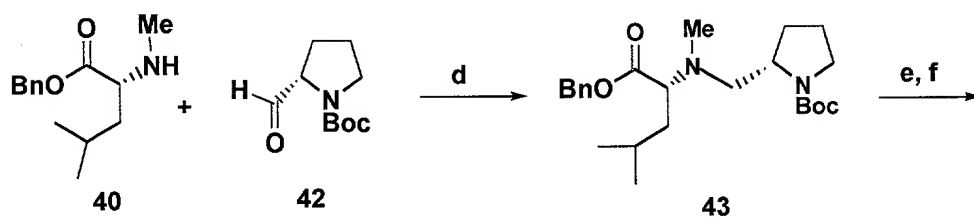
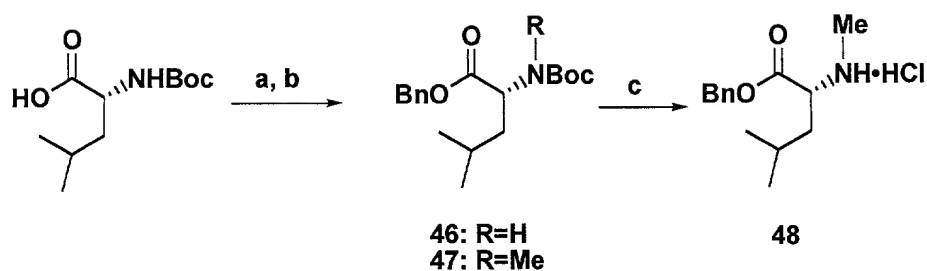


Fig. 4

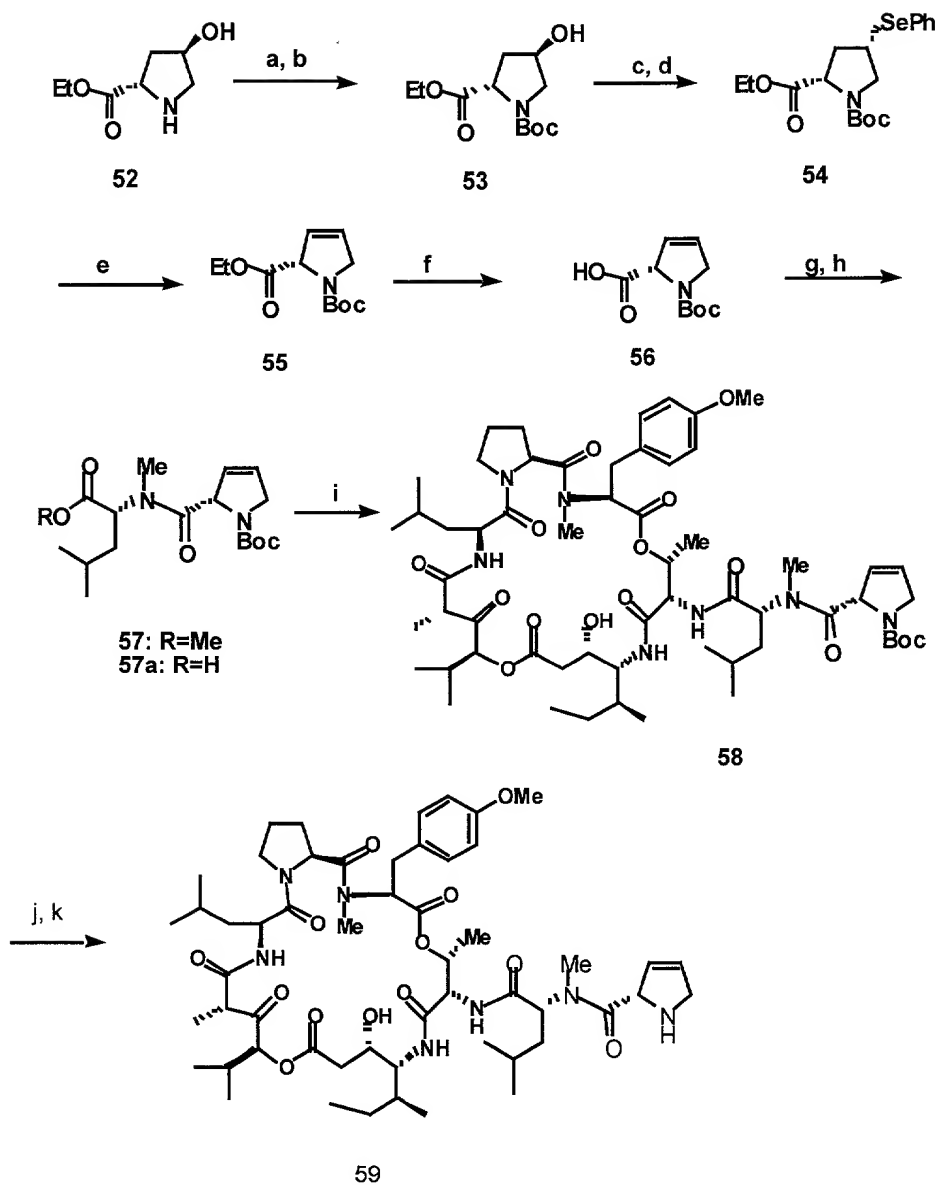
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a. Li_2CO_3 , BnBr, DMF, 85%; b. MeI, NaHMDS, CH_2Cl_2 78%; c. HCl in dioxane, 98%
 d. $\text{Na}(\text{AcO})_3\text{BH}$, AcOH, CH_2Cl_2 , 88%; e. HCl in dioxane, 98%; f. lactic acid, BOP, NMM, CH_2Cl_2 , 61%; g. H_2 , Pd/C; h. didemninn macrocycle salt, DIEA, HATU, CH_2Cl_2 , 72%

Fig. 5

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a. EtOH, SOCl₂, 95%; b. Boc₂O, Et₃N, CH₂Cl₂, 75%; c. MsCl, pyr., CH₂Cl₂, 86%; d. Se₂Ph₂, NaBH₄, EtOH, 86%; e. Pyr., H₂O₂, CH₂Cl₂, 82%; f. LiOH.H₂O, THF/H₂O, 95%; g. *N*-Me-D-Leucine methyl ester, BOP, NMM, CH₂Cl₂, 75%; h. HCl.dioxane; i. DB macrocycle salt, DIEA, HATU, CH₂Cl₂, 72%; j. HCl gas; k. NaHCO₃, ethyl acetate

Fig. 6

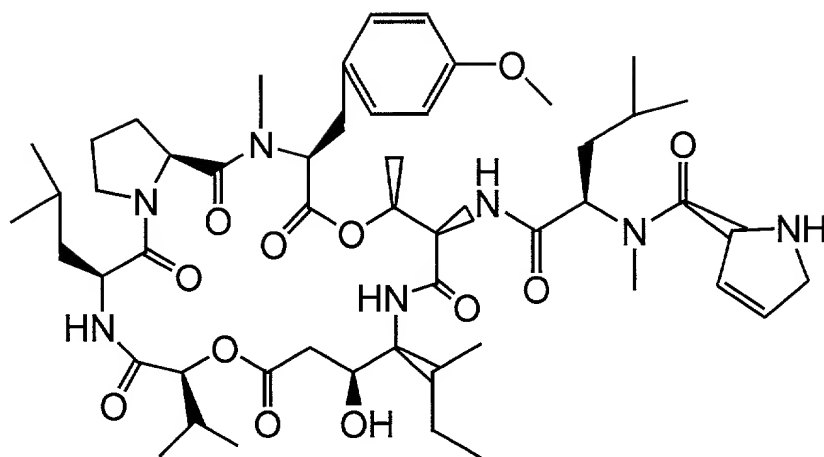


Fig. 7

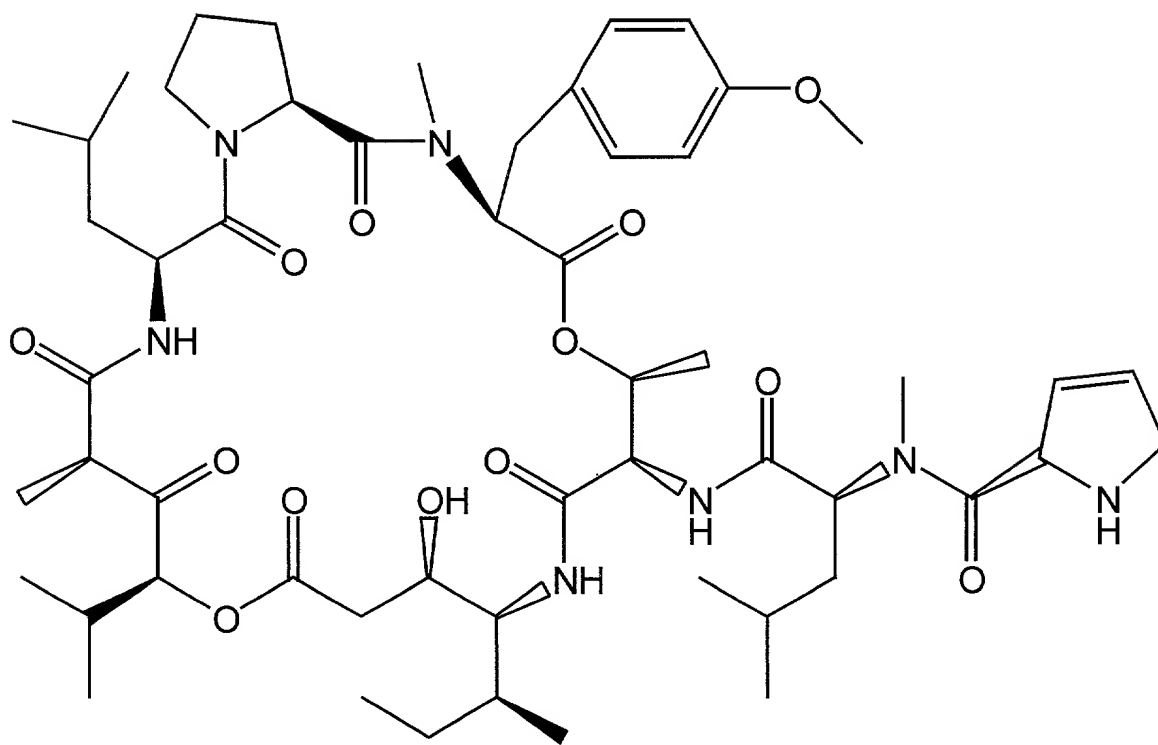


Fig. 8